```
13 15 17
ring nodes:
1 2 3 4 5 6 7 8 9 10 11 12
chain bonds:
1-13 9-15 15-17
ring bonds:
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 7-10 8-9 8-12 10-11 11-12
exact/norm bonds:
1-2 1-6 1-13 2-3 3-4 4-5 5-6 5-7 6-9 7-8 7-10 8-9 8-12 9-15 10-11 11-
12 15-17
```

G1:C.S

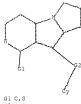
chain nodes :

G2:0,S

Match level: 1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS 13:CLASS 15:CLASS 17:CLASS

L1 STRUCTURE UPLOADED

=> d 11 L1 HAS NO ANSWERS L1 STR



G2 0, S

Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 12:05:59 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 194 TO ITERATE

100.0% PROCESSED 194 ITERATIONS

1 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**
PROJECTED ITERATIONS: 3045 TO 4715
PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

=> s l1 ful

FULL SEARCH INITIATED 12:06:05 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 4351 TO ITERATE

100.0% PROCESSED 4351 ITERATIONS

18 ANSWERS

SEARCH TIME: 00.00.01

L3 18 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 178.36 178.57

FULL ESTIMATED COST 178.36

FILE 'CAPLUS' ENTERED AT 12:06:15 ON 15 JUN 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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FILE COVERS 1907 - 15 Jun 2008 VOL 148 ISS 25 FILE LAST UPDATED: 13 Jun 2008 (20080613/ED)

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http://www.cas.org/legal/infopolicy.html

=> s 13

L4 15 L3

=> d abs fbib hitstr 1-15

L4 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN

GI

$$\bigcap_{\mathbb{H}} \bigcap_{\mathbb{C}_{02H}} \mathbb{S}$$

- AB The title compds. I [one of XI-X3 = S, and the other two represent C or N atoms; ring A = 6-10 membered aryl, 5-13 membered heteroaryl or partially aromatic heterocyclyl; R1 = H, halo, OH, CO2H, etc.; R2, R3 = H, alkyl, haloalkyl, etc.; n = 2-4; R4 = H, halo, S(alkyl), CN, etc.], that are useful for treating atherosclerosis, dyslipidemias and the like, were prepared and disclosed. E.g., a multi-step synthesis of II, starting from 3-(2-naphthyl)acrylic acid, was given. Compds. I generally have an IC50 in the 3H-nicotinic acid competition binding assay within the range of 1 nM to about 25 μM. Also compds. I generally have an EC50 in the functional in vitro GTPγS binding assay within the range of about less than 1 μM to as high as about 100 μM. Pharmaceutical compns. comprising the compound I alone or in combination with DP receptor antagonist, are also included.
- AN 2007:1204726 CAPLUS Full-text
- DN 147:486319
- TI Preparation of N-(2-carboxythienyl) amides as niacin receptor agonists
- IN Colletti, Steven L.; Tata, James R.; Chen, Weichun; Beresis, Richard T.; Ding, Fa-Xiang; Schmidt, Darby Rye; Shen, Hong; Raghavan, Subharekha
- PA Merck & Co., Inc., USA
- SO PCT Int. Appl., 58pp.

CODEN: PIXXD2

DT Patent LA English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2007120575 A2 20071025 WO 2007-US8584 20070406 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM US 2006-791019P P 20060411

- OS MARPAT 147:486319
- IT 688356-96-9 688357-16-6 688357-17-7

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (co-drug; preparation of N-(2-carboxythienyl) amides as niacin receptor agonists)

- RN 688356-96-9 CAPLUS
- CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(3,4-dichlorophenyl)thio]7,8-dihydro-1-(methylsulfonyl)- (CA INDEX NAME)

- RN 688357-16-6 CAPLUS
- CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(4-chlorophenyl)thio]-7,8-dihydro-1-(methylsulfonyl)- (CA INDEX NAME)

- RN 688357-17-7 CAPLUS
- CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(3,4-dichlorophenyl)thio]-

L4 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN GT

GI

- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- AB Title compds. I [X = C or N; Z = (un)substituted aryl or heteroaryl; R1 independently = H, halo, CO2H, CN, etc.; R2 and R3 independently = H, alkyl, haloalkyl, alkoxy, etc.; R4 = H, F, or (un)substituted alkyl; R5 = CO2H, tetrazole, or CONHSOZNE wherein R6 = (un)substituted alkyl; R5 = CO2H, tetrazole, or CONHSOZNE wherein R6 = (un)substituted alkyl or phenyl; m and p = 1 or 2 such that their sum = 3; n = 2-4; A = 6-10 membered], as well as their pharmaceutically acceptable salts are prepared and disclosed as useful for treating atherosclerosis, dyslipidemias and the like. Thus, e.g., II was prepared by conversion of 3-(4-bromophenyl)propionic acid to the amide with N-hydroxysuccinimide followed by reaction with triflate III to form the 4-bromophenylpropionamide derivative which was coupled with 4-hydroxyphenylboronic acid and hydrolyzed to give the desired product. In the 3H-nicotinic acid competition binding assay, I demonstrated IC50 values ranging from 1 nM to about 25 μM. Pharmaceutical compns. and methods of use are also included.
- AN 2007:912171 CAPLUS Full-text
- DN 147:277179
- TI Preparation of carboxamidocyclohexenylcarboxylic acids derivatives as niacin receptor agonists, compositions containing such compounds and methods of treatment
- IN Raghavan, Subharekha; Schmidt, Darby Rye; Colletti, Steven L.; Smenton, Abigail Lee
- PA Merck & Co., Inc., USA
- SO PCT Int. Appl., 96pp.
- CODEN: PIXXD2
- DT Patent
- LA English
- FAN.CNT 1

	PATENT NO.					KIN	D	DATE			APPL	ICAT	TON .	NO.		D	ATE	
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			GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,
			KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,
			MN,	MW,	MX,	MY,	ΜZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,
			RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	TJ,	TM,	TN,	TR,	TT,

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IS, IT, LT, LU, LV, MC, NI, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM

OS MARPAT 147:277179

668357-16-6 688357-17-7 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

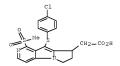
(claimed co-drugs for administration; preparation of cyclohexylcarboxylates as niacin receptor agonists)

US 2006-765853P

P 20060207

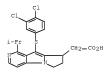
RN 688357-16-6 CAPLUS

CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(4-chlorophenyl)thio]-7,8-dihydro-1-(methylsulfonyl)- (CA INDEX NAME)



RN 688357-17-7 CAPLUS

CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(3,4-dichlorophenyl)thio]-7,8-dihydro-1-(1-methylethyl)- (CA INDEX NAME)



L4 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN GI

- AB Title compds. [I; Q = (R1)3A[C(Ra)2]xCRb(NR2R3)(CRRc)y, A = aryl, heteroaryl; B = atoms to form Ph, thienyl, cyclohexenyl ring; R1 = H, halo, OH, CO2H, cyano, NH2, CORe, aminoalkyl, CONH2, (substituted) Ph, heteroaryl, etc.; Re = (substituted) alkyl, Ph; Ra, Rb, RC = H, alkyl, haloalkyl; R2, R3 = H, alkyl, haloalkyl; R4 = H, halo, (substituted) alkyl, aryl, heteroaryl, heterocyclyl, etc.; 1 of x, y = 0, the other = 1], were prepared Thus, N-(tertbutoxycarbonyl)-3-(2-naphthyl)-1-alanine in CH2C12 at -10° was treated with DCC, HOBT, and Et 2-aminobenzoate followed by stirring for 12-24 h to give a residue which was treated with KCH in THE/MeOH/H2O and then with CF3CO2H in CH2C12 to give title compound (II). I in the functional in vitro GTPyS binding assay showed EC50 values of about 1-100 µM.
- AN 2007:728973 CAPLUS Full-text
- DN 147:143658
- TI Preparation of (hetero)aryl amino acid amides as niacin receptor agonists for treatment of atherosclerosis, dyslipidemia, diabetes, and metabolic syndrome.
- IN Imbriglio, Jason; Colletti, Steven L.; Tata, James R.; Beresis, Richard T.; Marley, Daria; Raghavan, Subharekha; Schmidt, Darby Rye; Lins, Ashley Rouse; Smenton, Abigail L.; Chen, Weichun; Shen, Hong; Ding, Fa-Xiang; Bodner, Rena
- PA Merck & Co., Inc., USA
- SO PCT Int. Appl., 78pp. CODEN: PIXXD2
- DT Patent
- LA English
- FAN.CNT 1

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L PILT.	PATENT NO.																	
	PA:	TENT :	NO.			KIN	D	DATE			APPL	ICAT	I NOI	NO.		D.	ATE	
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PI	WO	2007	0757	49		A2		2007	0705		WO 2	006-	US48	535		2	0061	220
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			GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,
			KG,	ΚZ,	MD,	RU,	ТJ,	TM										
	110, 110, 110,										US 2	005-	7518	77P	1	P 2	0051	220

- MARPAT 147:143658
- IT 688356-96-9 688357-16-6 688357-17-7
 - RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (coadministration; preparation of (hetero)aryl amino acid amides as niacin receptor agonists for treatment of atherosclerosis, dyslipidemia, diabetes, and metabolic syndrome)
- RN 688356-96-9 CAPLUS
- CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(3,4-dichlorophenyl)thio]-7,8-dihydro-1-(methylsulfonyl)- (CA INDEX NAME)

RN 688357-16-6 CAPLUS

CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(4-chlorophenyl)thio]-7,8dihydro-1-(methylsulfonyl)- (CA INDEX NAME)

RN 688357-17-7 CAPLUS

CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(3,4-dichlorophenyl)thio]7,8-dihydro-1-(1-methylethyl)- (CA INDEX NAME)

L4 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN

GI

AB Title compds. [I; 1-3 of W, X, Z = heteroatoms, the other = C; Y = C, N; 0-1 of W, X, Z = O, S, the remainder of W, X, Z = C, N; ring containing W, X, Y, Z is aromatic; A = 9-10 membered aryl, 8-10 membered heteroaryl, partially aromatic heterocyclyl; R1 = H, OH, halo, cyano, (substituted) alkyl, alkenyl, alkynyl, etc.; R2 = H, (substituted) alkyl, alkenyl; R3 = H, halo, Me, halomethyl; dotted lines = optional double bonds, either both present or both absent], were prepared Thus, title compound (II) was prepared from 4-bromo-3methylthiophene-2-carboxylic acid, 6-hydroxy-2-naphthylboronic acid, and anthranilic acid. In a 3H-nicotinic acid competition binding assay, I showed IC50's of about 10 nM-25 µM.

2007:351935 CAPLUS Full-text AN

146:379811 DN

ΤI Preparation of heterocyclylcarbonylaminobenzoic acids as niacin receptor agonists

Colletti, Steven L.; Imbriglio, Jason E.; Beresis, Richard Thomas; Frie, IN Jessica Leslie

PA Merck & Co., Inc., USA

PCT Int. Appl., 54pp. SO CODEN: PIXXD2

DT Patent

LA English

FAN	.CNT	1																
		rent :				KIN		DATE			APPL	ICAT	ION	NO.			DATE	
PI	WO	2007	0354	78		A2		2007			WO 2	006-	US36	023			20060	
	WO	2007	0354	78		A3		2007	1122									
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			GE,	GH,	GM,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,	KP,
			KR,	ΚZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,
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			RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	TJ,	TM,	TN,	TR.	TT,	TZ,
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OS MARPAT 146:379811

IT 683356-96-9 688357-16-6 683357-17-7

- RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (coadministration; preparation of heterocyclylcarbonylaminobenzoic acids as niacin receptor agonists)
- RN 688356-96-9 CAPLUS
- CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(3,4-dichlorophenyl)thio]-7,8-dihydro-1-(methylsulfonyl)- (CA INDEX NAME)

- RN 688357-16-6 CAPLUS
- CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(4-chlorophenyl)thio]-7,8-dihydro-1-(methylsulfonyl)- (CA INDEX NAME)

- RN 688357-17-7 CAPLUS
- CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(3,4-dichlorophenyl)thio]7,8-dihydro-1-(1-methylethyl)- (CA INDEX NAME)

L4 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN

- AB Title compds. I [wherein X = C or N; D = bond, O, CH2, CH2CH2 or CH2CH2CH2; B = (hetero)aryl; B' = H or absent; B and B' can be taken together to form a spiro ring while D = bond; Ra = H, halo, OH, etc.; Rb = H, halo, alkyl, etc.; Rc = COOH or tetrazol-5-yl; R4 = H, halo or (halo)methyl, with limitations] or pharmaceutically acceptable salts and solvates were prepared as niacin receptor agonists. Solid-phase synthesis of I such as II on Wang resin was disclosed. The invented compds, generally have EC50 in the range of 1 μM to 100 µM for niacin receptor in the binding assay. I are useful for the treatment of atherosclerosis, dyslipidemia, diabetes and other conditions.
- 2007:259556 CAPLUS Full-text AN
- DN 146:316951
- Preparation of piperazinecarboxamides, diazepanecarboxamides and their TI analogs as niacin receptor agonists for the treatment of atherosclerosis, dvslipidemia and diabetes
- IN Colletti, Steven L.; Shen, Hong; Tata, James R.; Szymonifka, Michael J.
- PA Merck & Co., Inc., USA so PCT Int. Appl., 55pp.
- CODEN: PIXXD2
- DT Patent
- LA English

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PI												2006-						
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	AU	2006	2850	64		A1		2007	0308		AU	2005- 2006-:	2850	64		2	0050 0060	
												2005-1 2006-1					0050 0060	
	CA	2620	570			A1	A1 2		0308			2006-: 2005-					0060 0050	
											WO	2006-	US33	304	1	W 2	0060	825

IT 688356-96-9 688357-15-6 688357-17-7

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (co-drug; preparation of piperazinecarboxamides, diazepanecarboxamides and their analogs as niacin receptor agonists for treatment of atherosclerosis, dyslipidemia and diabetes)

RN 688356-96-9 CAPLUS

CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(3,4-dichlorophenyl)thio]-7,8-dihydro-1-(methylsulfonyl)- (CA INDEX NAME)

RN 688357-16-6 CAPLUS

CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(4-chlorophenyl)thio]-7,8dihydro-1-(methylsulfonyl)- (CA INDEX NAME)

RN 688357-17-7 CAPLUS

CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(3,4-dichlorophenyl)thio]-7,8-dihydro-1-(1-methylethyl)- (CA INDEX NAME)

$$\begin{bmatrix} R^1 \end{bmatrix}_3 \xrightarrow{A} \begin{bmatrix} 0 & 1 & X \\ 1 & 1 & 1 \\ R^2 & R^3 & R^4 \end{bmatrix}_2$$

- AB Title compds. I [X = CH2, O, S, etc.; a, b = 1-3 such as a + b = 2-4; ring A =arvl, heteroarvl, partially aromatic heterocyclic group, said heteroarvl and partially aromatic heterocyclic group containing at least one heteroatom selected from O, S, SO, etc., and optionally containing 1 other heteroatom selected from O and S, and optionally containing 1-3 addnl. N atoms, with up to 5 heteroatoms being present; R2, R3 = H, alkyl, haloalkyl, etc.; n = 1-5; R4 = H, halo, R6; R6 = alkyl optionally substituted with 1-3 groups, 0-3 of which are halo, and 0-1 of which are selected from the group consisting of 0alkyl, hydroxy, amino, etc.; R5 = -CO2H, tetrazol-5-vl, etc.; R1 = H, halo, hydroxy, etc.], pharmaceutically acceptable salts or solvates thereof were prepared For example, reaction of 3-(naphthalen-2-yl)propionic acid with methanesulfonyl chloride followed by in-situ treatment with Me 2aminocyclohex-2-ene-1-carboxylate and hydrolysis using NaOH afforded compound II. The invented compds. generally have an IC50 in the 3H-nicotinic acid competition binding assays within the range of 1 nM to about 25 µM, and have an EC50 in the functional in vitro GTPyS binding assays within the range of about 1-100 uM.
- 2006:1356948 CAPLUS Full-text AN
- DN 146:100362
- Preparation of 2-acylaminocycloalkenecarboxylic acids derivatives as TT niacin receptor agonists
- Raghavan, Subharekha; Colletti, Steven L.; Ding, Fa-Xiang; Shen, Hong; TN Tata, James R.; Lins, Ashley Rouse; Smenton, Abigail Lee; Chen, Weichun; Schmidt, Darby Rye; Tria, George Scott
- PA USA
- U.S. Pat. Appl. Publ., 69pp. SO
- CODEN: USXXCO
- Patent DT
- LA English

FAN.	CNII					
	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
PI	US 20060293364	A1	20061228	US 2006-474646		20060626
				US 2005-694711P	P	20050628
	AU 2006261839	A1	20070104	AU 2006-261839		20060626
				US 2005-694711P	P	20050628
				WO 2006-US24740	W	20060626
	CA 2611552	A1	20070104	CA 2006-2611552		20060626
				US 2005-694711P	P	20050628
				WO 2006-US24740	W	20060626
	WO 2007002557	A1	20070104	WO 2006-US24740		20060626
	W: AE. AG. AL	. AM.	AT. AU. AZ.	BA. BB. BG. BR. BW.	BY. B	7. CA. CH.

CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM US 2005-694711P P 20050628 EP 1901731 20080326 EP 2006-785553 A1 20060626 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR US 2005-694711P P 20050628 WO 2006-US24740 W 20060626 KR 2008019653 Α 20080304 KR 2007-730918 20071228 US 2005-694711P P 20050628 WO 2006-US24740 W 20060626

- OS MARPAT 146:100362
- IT 688356-96-9 688357-16-6 688357-17-7

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (medicaments with; preparation of 2-acylaminocycloalkenecarboxylic acids as niacin receptor agonists)

- RN 688356-96-9 CAPLUS
- CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(3,4-dichlorophenyl)thio]-7,8-dihydro-1-(methylsulfonyl)- (CA INDEX NAME)

- RN 688357-16-6 CAPLUS
- CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(4-chlorophenyl)thio]-7,8-dihydro-1-(methylsulfonyl)- (CA INDEX NAME)

- RN 688357-17-7 CAPLUS
- CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(3,4-dichlorophenyl)thio]-

7,8-dihvdro-1-(1-methvlethvl)- (CA INDEX NAME)

L4 ANSWER 7 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN GT

- Title compds. represented by the formula I [wherein R1 = (un)substituted AB cyclohexyl, Ph or heteroaryl; R2 = tetrazol-5-yl, 2,4-dioxo-oxazol-5-yl or CO2R; R = H or alkyl; n = 1 or 2; and pharmaceutically acceptable salts or solvates thereof] were prepared as Niacin receptor agonists. For example, II was provided in a multi-step synthesis starting from 3-ethoxy cyclopentenone. Certain I an IC50 in the miacin binding assay within the range of about 0.010-50 µM, and have an EC50 in the functional GTPyS binding assay within the range of about 0.010-100 lM. Thus, I and their pharmaceutical compns. are useful as Niacin receptor agonists for the treatment of dyslipidemias (no data).
- AN 2006:1124674 CAPLUS Full-text
- 145:455008 DN
- Preparation of pyrazole derivatives as Niacin receptor agonists TI
- Imbriglio, Jason E.; Colletti, Steven L.; Tata, James R.; Liang, Rui; IN Raghavan, Subharekha; Schmidt, Darby R.; Smenton, Abigail R.; Chan, Sook
- PA Merck & Co., Inc., USA
- SO PCT Int. Appl., 83pp. CODEN: PIXXD2
- DТ Patent LA English
- FAN.CNT 1

	PATEN		KIN	D	DATE			APPL	ICAT	ION	NO.		D.	ATE			
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PI	WO 20	WO 2006113150			A1		2006	1026		WO 2	006-	US12	876		2	0060	407
	W: AE, AG, AL		AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,	KP,	KR,
		K7.	T.C	I.K	T.R	LS	T.T	T.II	1.37	T.Y	MΔ	MD	MG	MK	MN	MW	MX

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	RW:						CZ.	DE.	DK.	EE,	ES,	FI.	FR.	GB,	GR.	HU,	IE,
											RO,						
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		GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
		KG,	KZ,	MD,	RU,	TJ,	TM										
									1	US 2	005-	6707	64P	1	P 2	0050	413
AU	2006	2369	39		A1		2006	1026	- 2	AU 2	006-	2369	39		2	0060	407
											005-				P 2	0050	413
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CA	2603	757			A1		2006	1026			006-					0060	
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											006-					0060	
EP	1874										006-					0060	
	R:										ES,						IE,
		IS,	IT,	LI,	LT,	LU,	LV,	MC,			PT,						
											005-						
					_						006-					0060	
TN	2007	CNU4	216		A		2007	1221			007-					0070	
											005-					0050 0060	
OM	1011	C012			2		2000	0.400								0050	
CIN	1011	0012	5		A		2008	0409			006- 005-					00.50	
											005-					0060	
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- OS MARPAT 145:455008
- IT 688356-96-9P 688357-16-6P 688357-17-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

- (preparation of pyrazole derivs. as Niacin receptor agonists)
 N 688356-96-9 CAPLUS
- CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(3,4-dichlorophenyl)thio]-7,8-dihydro-1-(methylsulfonyl)- (CA INDEX NAME)

- RN 688357-16-6 CAPLUS
- CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(4-chlorophenyl)thio]-7,8-dihydro-1-(methylsulfonyl)- (CA INDEX NAME)

RN 688357-17-7 CAPLUS

CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(3,4-dichlorophenyl)thio]-7,8-dihydro-1-(1-methylethyl)- (CA INDEX NAME)

IT 688357-25-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrazole derivs. as Niacin receptor agonists)
N 688357-25-7 CAPLUS

CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(3,4-dichlorophenyl)thio]-7,8-dihydro-1-(1-methylethyl)-, ethyl ester (CA INDEX NAME)

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN

G

- AB A method of treating atherosclerosis is disclosed wherein nicotinic acid or another nicotinic acid receptor agonist is administered to the patient in combination with a DP (prostaglandin D2) receptor antagonist. E.g, I was prepared by a series of reactions starting from 4-chloronicotinaldehyde. The compds. prepared function as selective DP antagonists and demonstrate an affinity for DP that is at least about 10 times higher than the affinity for CRTH2 receptors.
- AN 2006:844718 CAPLUS Full-text
- DN 145:271745
- TI Preparation of pyridoindolizine and pyridoindole derivatives for treating atherosclerosis, dyslipidemias and related conditions
- IN Fitzpatrick, Shaun; Seiler, Christian; Hardy, Ian; Waters, M., Gerard; Lai, Eseng
- PA Merck & Co., Inc., USA
- SO PCT Int. Appl., 66pp.
- CODEN: PIXXD2
- DT Patent
- LA English
- FAN.CNT 1

PAN.					KIN	_	DATE				ICAT					ATE	
PI	WO 20 WO 20				A2 A3		2006 2007			WO 2	006-	US69	51		2	0060	215
	W	CN, GE, KZ,	AG, CO, GH, LC, NA,	CR, GM, LK,	CU, HR, LR,	AT, CZ, HU, LS,	AU, DE, ID, LT,	AZ, DK, IL, LU,	DM, IN, LV,	DZ, IS, LY,	EC, JP, MA,	EE, KE, MD,	EG, KG, MG,	ES, KM, MK,	FI, KN, MN,	GB, KP, MW,	GD, KR, MX,
		SG, VN,	SK, YU,	SL, ZA,	SM, ZM,	SY, ZW	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,
	R	CF,	BE, IT, CG, KE,	LT, CI,	LU, CM,	LV, GA,	MC, GN,	NL, GQ,	PL, GW,	PT, ML,	RO, MR,	SE, NE,	SI, SN,	SK, TD,	TR, TG,	BF, BW,	BJ, GH,
			KZ,						EA,	EP,			·			0050	
	AU 20	062140	18		A1		2006	0824		AU 2	006-	2140	18		2	0060	215
	CA 25	98273			A1		2006	0824		WO 2	005- 006- 006-	US69	51		W 2	0050; 0060; 0060;	215
	011 20	0210			111		2000	0021		US 2	005- 006-	6547	03P		P 2	0050:	217
	EP 18	55649			A2	2 20071121				EP 2	006-	7210	98		2	0060	215
	R	IS,		LI,	, CH, CY, CZ, DE, D , LT, LU, LV, MC, N												

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			WO	2006-US6951	W	20060215
US 20080139604	A1	20080612	US	2007-795484		20070718
			US	2005-654703P	P	20050217
			WO	2006-US6951	W	20060215
IN 2007CN03290	A	20071109	IN	2007-CN3290		20070726
			US	2005-654703P	P	20050217
			WO	2006-US6951	W	20060215
CN 101189011	A	20080528	CN	2006-80005127		20070816
			US	2005-654703P	P	20050217
			WO	2006-US6951	W	20060215

IT 887146-42-1P 887146-43-2P

RL: PAC (Pharmacological activity); PUR (Purification or recovery); RCT (Reactant); SFN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyridoindolizine and pyridoindole derivs for treating atherosclerosis, dyslipidemias and related conditions)

RN 887146-42-1 CAPLUS

CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(3,4-dichlorophenyl)thio]-7,8-dihydro-1-(1-methylethyl)-, methyl ester, (8S)- (CA INDEX NAME)

Absolute stereochemistry.

- RN 887146-43-2 CAPLUS
- CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(3,4-dichlorophenyl)thio]-7,8-dihydro-1-(1-methylethyl)-, methyl ester, (8R)- (CA INDEX NAME)

Absolute stereochemistry.

IT 688356-96-9P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of pyridoindolizine and pyridoindole derivs for treating

(preparation of pyridoindolizine and pyridoindole derivs for treating atherosclerosis, dyslipidemias and related conditions)

RN 688356-96-9 CAPLUS

CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(3,4-dichlorophenyl)thio]-7,8-dihvdro-1-(methvlsulfonvl)- (CA INDEX NAME)

IT 688357-16-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyridoindolizine and pyridoindole derivs for treating atherosclerosis, dyslipidemias and related conditions)

RN 688357-16-6 CAPLUS

CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(4-chlorophenyl)thio]-7,8-dihydro-1-(methylsulfonyl)- (CA INDEX NAME)

IT 688357-25-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyridoindolizine and pyridoindole derivs for treating atherosclerosis, dyslipidemias and related conditions)

RN 688357-25-7 CAPLUS

CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(3,4-dichlorophenyl)thio]-7,8-dihydro-1-(1-methylethyl)-, ethyl ester (CA INDEX NAME)

AB The invention relates to certain fused pyrazole derivs, of formula I, and pharmaceutically acceptable salts thereof, which exhibit useful pharmacol. properties, for example, as agonists for the RUP25 receptor. Compds. of formula I wherein X is N, and Z is CR7, or X is CR7 and Z is N; one dotted lines are single and double bonds such that the ring containing X and Z is a pyrazole ring; R1 - R6 are independently H, C1-6 acv1(oxv), C2-6 alkenyl, C1-6 alkoxy, C1-6 alkyl(amino), C1-6 alkyl(thio)carboxamide, C2-6 alkynyl, etc.; R7 is carbo-C1-6 alkoxy, carboxy, or tetrazol-5-yl; and their pharmaceutically acceptable salts, hydrates, or solvates thereof are claimed. Also provided by the invention are pharmaceutical compns. containing compds. of the invention, and methods of using the compds. and compns. of the invention in the treatment of metabolic-related disorders, including dyslipidemia, atherosclerosis, coronary heart disease, insulin resistance, type 2 diabetes, Syndrome-X and the like. In addition, the invention also provides for the use of the compds. of the invention in combination with other active agents such as those belonging to the class of α -glucosidase inhibitors, aldose reductase inhibitors, biquanides, HMG-CoA reductase inhibitors, squalene synthesis inhibitors, fibrates, LDL catabolism enhancers, angiotensin converting enzyme (ACE) inhibitors, insulin secretion enhancers, DP receptor antagonists, and the like. Example compound II was prepared by cyclization of (R)-2-(3butenyl)oxirane; the resulting bicyclo[3.2.1]hexan-2-ol underwent oxidation of give bicyclo[3.2.1]hexane-2-one, which underwent cyclization with di-Et oxalate and hydrazine to give 1a, 2, 5, 5a-tetrahydro-1H-2, 3diazacyclopropa[a]pentalene-4-carboxylic acid Et ester, which underwent amidation with ammonium hydroxide to give the corresponding amide, which benzylation with benzyl bromide followed by dehydration to give 2-benzyl-1a, 2, 5, 5a-tetrahydro-1H-2, 3-diazacyclopropa[a]pentalene-4- carbonitrile, which reacted with sodium azide to give 2-Benzyl-4-(2H- tetrazol-5-yl)-1a,2,5,5atetrahydro-2,3-diazacyclopropa[a]pentalene, which underwent debenzylation to give example compound II. All the invention compds. were evaluated for their antihyperglycemic activity, and 35S-GTPyS, human RUP25, and 3H-nicotinic acid receptor binding affinities. Certain compds. were determined to have an EC50 value in the cAMP whole cell method of about 25 μM or less. From the in vitro GTPyS binding assay, it was determined that tested compds. exhibited EC50 values in the range of about 1-100 μM , and the best compds. showed an EC50 value of less than about 1 μM . Certain tested compds. have an EC50 in the 3Hnicotinic acid binding competition assay, in the range of 1 to 100 µM , and the most favorable compds. exhibited an EC50 value of less than about 1 μM . ΔN 2006:635044 CAPLUS Full-text

- DN 145:103670
- TI Fused pyrazole derivatives and their preparation, pharmaceutical
- compositions, and methods for treatment of metabolic-related disorders IN Boatman, Douglas P.; Schrader, Thomas O.; Semple, Graeme; Skinner, Philip
- J.; Jung, Jae-Kyu PA
- Arena Pharmaceuticals, Inc., USA PCT Int. Appl., 170 pp.
- SO CODEN: PIXXD2
- Pat.ent. DT
- LA English
- FA

FAN.	PA:	TENT I				KIN		DATE				LICAT					ATE	
ΡI	WO	2006	0692	42		A2 A3			0629			2005-					0051	
	110	W:	AE, CN, GE, KZ, MZ, SG, VN, AT, IS, CF, GM,	AG, CO, GH, LC, NA, SK, YU, BE, IT, CG, KE,	CR, GM, LK, NG, SL, ZA, BG, LT, CI, LS,	AM, CU, HR, LR, NI, SM, ZM, CH, LU, CM, MW,	AT, CZ, HU, LS, NO, SY, ZW CY, LV, GA, MZ,	AU, DE, ID, LT, NZ, TJ, CZ, MC, GN, NA,	AZ, DK, IL, LU, OM, TM, DE, NL, GQ,	DM, IN, LV, PG, TN, DK, PL, GW,	DZ IS LY PH TR EE PT ML	, BG, , EC, , JP, , MA, , PL, , TT, , ES, , RO, , MR, , TZ,	EE, KE, MD, PT, TZ, FI, SE, NE,	EG, KG, MG, RO, UA, FR, SI, SN,	ES, KM, MK, RU, UG, GB, SK, TD,	FI, KN, MN, SC, US, GR, TR,	GB, KP, MW, SD, UZ, HU, BF, BW,	GD, KR, MX, SE, VC, IE, BJ, GH,
			KG,	KZ,	MD,	RU,	TJ,	TM			US	2004-	6386	68P	1	P 2	20041	223
	AU	2005	3191	21		A1		2006	0629		US AU	2005- 2005- 2004-	6765 3191	21P 21	1	P 2	0050 0051 0041	429 222
	CA	2589	648			A1		2006	0629		WO	2005- 2005- 2005-	US46	599 648	1	W 2	0050 0051 0051	222 222
											US WO	2004- 2005- 2005-	6765 US46	21P 599	1	P 2	0041 0050 0051	429 222
		7241		955		A1 B2		2006 2007			US	2005-	6386	68P		P 2	20051	223
	EP	1831 R:	AT, IS,		LI,	LT,	CY,		DE,	DK,	EP EE	2005- 2005- , ES, , PT,	8571 FI,	82 FR,	GB,	GR,	0051 HU,	222 IE,
	CN	1010:	8776	5		A		2007	1212		US WO	2004- 2005- 2005- 2005-	6765 US46	21P 599	1	P 2	0041 0050 0051	429 222
				•							US US	2004- 2005- 2005-	6386 6765	68P 21P	1	P 2	0041	223 429
	US	2007	0073	062		A1		2007	0329		US US	2006- 2004- 2005-	6386 6765	68P 21P	1	P 2	0061 0041 0050	223 429
	IN	2007	KN02	303		Α		2007	0817		IN US	2005- 2007- 2004-	KN23 6386	03 68P	1	P 2	0051 0070 0041	621 223
	NO	2007	0037	66		Α		2007	0921			2005- 2007-		599	1		20051 20070	

				US	2004-638668P	P	20041223
				US	2005-676521P	P	20050429
				WO	2005-US46599	W	20051222
KR 2	2007088808	A	20070829	KR	2007-716787		20070720
				US	2004-638668P	P	20041223
				US	2005-676521P	P	20050429
				WO	2005-US46599	W	20051222

OS MARPAT 145:103670

IT 688356-96-9P 688357-16-6P 688357-17-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of fused pyrazole derivs. and methods for treatment of metabolic-related disorders)

RN 688356-96-9 CAPLUS

CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(3,4-dichlorophenyl)thio]7,8-dihydro-1-(methylsulfonyl)- (CA INDEX NAME)

RN 688357-16-6 CAPLUS

CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(4-chlorophenyl)thio]-7,8dihydro-1-(methylsulfonyl)- (CA INDEX NAME)

RN 688357-17-7 CAPLUS

CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(3,4-dichlorophenyl)thio]7,8-dihydro-1-(1-methylethyl)- (CA INDEX NAME)

L4 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN GI

AΒ The invention is related to biaryls I [Y = C, N; Z = C(RaRb)n; Ra, Rb = independently H, alkyl, OH, F, etc.; n = 1-5; R1 = CO2H, 1H-tetrazol-5-yl, CONHSO2Rc; Rc = (un)substituted alkyl, Ph; X10' = (X10)0-1; X1' = (X1)0-1' X1-X10 = C, or a heteroatom selected from O, S, and N, with provisos; each R2 = H, F, Cl, Br, I, alkyl, heterocyclyl, etc.; or two R2 groups taken together can form a fused Ph or fused heterocycle with ring B; each R3 = H, halo, halo/alkvl, halo/alkoxv, etc.; each R4 = H, halo, Me, etc.], as well as pharmaceutically acceptable salts, solvates, as niacin receptor agonists useful for treating atherosclerosis and dyslipidemias in combination with DP antagonists. The invention is also related to the preparation of DP antagonists. Pharmaceutical compns. comprising I are also included. Thus, anthranilide II was prepared by Pd-coupling of 3-(4-iodophenyl)propionic acid with phenylboronic acid, chlorination of biaryl propionic acid (no data) with SOC12, and amidation of acyl chloride (no data) with anthranilic acid. I have an EC50 in the functional assay in vitro GTPyS binding assay within the range of about less than 1 μM to as high as about 100 μM . Have an IC50 in the 3Hnicotinic acid competition binding assay within the range of 1 nM to about 25 μM. Selected I do not exhibit measurable in vivo vasodilation in the murine flushing model at doses up to 100 mg/kg or 300 mg/kg in the presence of DP antagonists.

AN 2006:513667 CAPLUS Full-text

- 145:27731 DN
- TI Preparation of biaryl compounds, particularly N-(biarylpropionyl)anthranilides, as niacin receptor agonists and pyridoindolizine derivatives as DP receptor antagonists, their pharmaceutical compositions and their combination useful for treating atherosclerosis and dyslipidemias
- Colletti, Steven L.; Tata, James R.; Shen, Hong C.; Ding, Fa-Xiang; Frie, IN Jessica L.; Imbriglio, Jason E.; Chen, Weichun

APPLICATION NO.

US 2004-630281P P 20041123

WO 2005-US41962 W 20051118

US 2004-630281P P 20041123 WO 2005-US41962 W 20051118

W 20051118

20070430 P 20041123

20070517

WO 2005-US41962

IN 2007-CN1774

US 2004-630281P

US 2007-791183

DATE

20051118

- PA Merck & Co., Inc., USA
- SO PCT Int. Appl., 100 pp.
- CODEN: PIXXD2

DT Patent English LA

FAN.CNT 1 PATENT NO. KIND DATE PT WO 2006057922 A2 20060601 WO 2005-US41962 WO 2006057922 A3 20060831

	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,	KP,	KR,
		ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,
		MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,
		SG,	SK,	SL,	SM,	SY,	ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,
		VN,	YU,	ZA,	ZM,	zw											
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,
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		KG,	ΚZ,	MD,	RU,	ΤJ,	$^{\text{TM}}$										
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AU	2005	3097	37		A1		2006	0601			005-		-		_	0051	
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											005-					0051	
CA	2587	207			A1		2006	0601			005-					0051	
											004-					0041	
											005-					0051	
EP	1824							0829			005-				_	0051	
	R:										ES,						IE,
		15,	IT,	ьī,	LT,	LU,	L∨,	MC,			PT,						
											004-					0041	
					_						005-					0051	
CN	1010	6109	2		A		2007	1024		CN 2	005-	8003	9913		2	0051	T18

IN 2007CN01774

US 20070281969 A1 20071206

A

20070831

(DP receptor antagonist; preparation of biarvl compds. as niacin receptor agonists and pyridoindolizine derivs. as DP receptor antagonists and their combination useful for treating atherosclerosis and dyslipidemias)

os MARPAT 145.27731

TT 688356-96-9P 688357-16-6P 688357-17-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

- RN 688356-96-9 CAPLUS
- CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(3,4-dichlorophenyl)thio]7,8-dihydro-1-(methylsulfonyl)- (CA INDEX NAME)

- RN 688357-16-6 CAPLUS
- CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(4-chlorophenyl)thio]-7,8-dihydro-1-(methylsulfonyl)- (CA INDEX NAME)

- RN 688357-17-7 CAPLUS
- CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(3,4-dichlorophenyl)thio]-7,8-dihydro-1-(1-methylethyl)- (CA INDEX NAME)

- IT 688357-27-9P 688357-28-0P
 - RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of biaryl compds. as niacin receptor agonists and
 pyridoindolizine derivs. as DP receptor antagonists and their
 combination useful for treating atherosclerosis and dyslipidemias)
- RN 688357-27-9 CAPLUS
- CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(3,4-dichlorophenyl)thio]-7,8-dihydro-1-(1-methylethyl)-, methyl ester, (+)- (CA INDEX NAME)

Rotation (+).

RN 688357-28-0 CAPLUS

CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(3,4-dichlorophenyl)thio]-7,8-dihydro-1-(1-methylethyl)-, methyl ester, (-)- (CA INDEX NAME)

Rotation (-).

L4

GI

ANSWER 11 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN

AB A method of treating pathol. blushing is disclosed wherein the patient is administered a DP (prostaglandin D2) receptor antagonist. E.g, I was prepared by a series of reactions starting from 4-chloronicotinaldehyde. The compds. prepared function as selective DP antagonists and demonstrate an affinity for DP that is at least about 10 times higher than the affinity for CRTH2 receptors.

AN 2006:471897 CAPLUS Full-text

DN 144:488635

TI Preparation of compounds such as pyridoindolizine and indole derivatives as prostaglandin D2 antagonists for treating pathological blushing

IN Tobert, Jonathan A.; Lai, Eseng PA Merck & Co., Inc., USA

SO PCT Int. Appl., 40 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.		NO.		KIND DATE														
PI						A2 20060518 A3 20070111			viO 2									
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	US 20070299122				A1 20071227			US 2004-625823P US 2007-667346 US 2004-625823P						P 20041108 20070508 P 20041108				
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OS CASREACT 144:488635

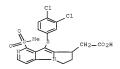
IT 688356-96-9P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of compds. such as pyridoindolizine and indole derivs. as prostaglandin D2 antagonists for treating pathol. blushing)

RN 688356-96-9 CAPLUS

CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(3,4-dichlorophenyl)thio]7,8-dihydro-1-(methylsulfonyl)- (CA INDEX NAME)

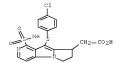


IT 688357-16-6P 688357-17-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of compds. such as pyridoindolizine and indole derivs. as prostaglandin D2 antagonists for treating pathol. blushing)

- RN 688357-16-6 CAPLUS
- CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(4-chlorophenyl)thio]-7,8dihydro-1-(methylsulfonyl)- (CA INDEX NAME)



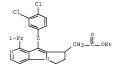
- RN 688357-17-7 CAPLUS
- CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(3,4-dichlorophenyl)thio]7,8-dihydro-1-(1-methylethyl)- (CA INDEX NAME)

IT 688357-25-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of compds. such as pyridoindolizine and indole derivs. as prostaglandin D2 antagonists for treating pathol. blushing)

- RN 688357-25-7 CAPLUS
- CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(3,4-dichlorophenyl)thio]-7,8-dihydro-1-(1-methylethyl)-, ethyl ester (CA INDEX NAME)



IT 887146-42-1P 887146-43-3P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of compds. such as pyridoindolizine and indole derivs. as prostaglandin D2 antagonists for treating pathol. blushing)

RN 887146-42-1 CAPLUS

CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(3,4-dichlorophenyl)thio]-7,8-dihydro-1-(1-methylethyl)-, methyl ester, (8S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 887146-43-2 CAPLUS

CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(3,4-dichlorophenyl)thio]-7,8-dihydro-1-(1-methylethyl)-, methyl ester, (8R)- (CA INDEX NAME)

Absolute stereochemistry.

 ${\tt L4}$ ${\tt ANSWER}$ 12 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN GI

$$B = (CR^1R^2)_{R} \xrightarrow{0} \xrightarrow{X} (R^4)_{2}$$

- The invention relates to miacin receptor agonists of formula I; as well as AR pharmaceutically acceptable salts and solvates. The compds. are useful for treating dyslipidemias, and in particular, reducing serum LDL, VLDL and triglycerides, and raising HDL levels. Pharmaceutical compns. and methods of treatment are also included. Compds. of formula I wherein Y is C or N; R1 and R2 are independently H, (halo)C1-3 alkyl(oxy), OC1-3 alkyl, OH, or F; R3 is Co2H, tetrazolyl, or CONHSO2H and derivs.; R4 is H, halo, or (halo)methyl; B is (un)substituted 10-membered bicyclic aryl, (un)substituted 9- to 10membered bicyclic heteroaryl, or (un)substituted 12- to 13-membered tricyclic heteroarvl; n is an integer from 1 to 4, such that when (CR1R2)n represent CH(Me)CH2, the ring B is (un)substituted bicyclic aryl; and their pharmaceutically acceptable salts and solvates thereof. Example compound II was prepared by amidation of 3-(1-naphthyl)acrylic acid with Me anthranilate followed by catalytic hydrogenation. All the invention compds, were tested for their niacin receptor affinity. From the assay, it was determined that most of the compds. in general exhibited in vitro EC50 values in the range of about 1 uM to as high as about 100 uM.
- AN 2006:469551 CAPLUS Full-text
- DN 144:488409
- TI N-Acyl anthranilic acid and related compounds as niacin receptor agonists, and their preparation, pharmaceutical compositions and methods of treatment of dvslioidemias
- IN Colletti, Steven L.; Beresis, Richard T.; Chen, Weichun; Tata, James R.; Shen, Hong C.; Marley, Daria M.; Deng, Qiaolin; Frie, Jessica L.; Ding, Fa-Xiang
- PA Merck & Co., Inc., USA
- SO PCT Int. Appl., 125 pp. CODEN: PIXXD2
- DT Patent
- LA English
- DA DIGI

FAN.			NO.			KIND DATE					APPL	ICAT		DATE				
PI								20060518				005-				2	0051	030
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		RW:	AT, IS, CF, GM,	BE, IT, CG, KE,	BG, LT, CI, LS,	LU, CM,	CY, LV, GA, MZ,	CZ, MC, GN, NA,	NL, GQ,	PL, GW,	PT, ML,	RO, MR,	SE, NE,	SI, SN,	SK, TD,	TR, TG,	BF, BW,	BJ, GH,
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	CA	2586	156			A1		2006	20060518		CA 2005- US 2004-		-US39523 -2586156 -624816P		1	2	0051 0041	030 104
	EP	EP 1809284 R: AT, BE, BG IS, IT, LI		BG,	CH,	CY,			DK,	DK, EE, E		8250 FI, RO, 6248	14 FR, SE, 16P	GB, SI,	GR, SK,	0051 HU, TR	030 IE, 104	
	CN 101056635			A		2007	1017											

				US	2004-624816P	P	20041104
				WO	2005-US39523	W	20051030
JP	2008518957	T	20080605	JP	2007-539301		20051030
				US	2004-624816P	P	20041104
				WO	2005-US39523	W	20051030
IN	2007CN01653	A	20070831	IN	2007-CN1653		20070423
				US	2004-624816P	P	20041104
				WO	2005-US39523	W	20051030
US	20070299101	A1	20071227	US	2007-666966		20070502
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				WO	2005-US39523	W	20051030

OS MARPAT 144:488409

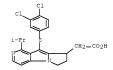
IT 688357-17-7P

RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYF (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

(drug candidate; preparation of N-acyl anthranilic acid and related compds. as nlacin receptor agonists and their methods of treatment of dyslipidemias)

RN 688357-17-7 CAPLUS

CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(3,4-dichlorophenyl)thio]-7,8-dihydro-1-(1-methylethyl)- (CA INDEX NAME)



IT 887401-58-3P 887401-59-4P

RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of N-acyl anthranilic acid and related compds. as nlacin receptor agonists and their methods of treatment of dyslipidemias) $\ \ \,$

RN 887401-58-3 CAPLUS

CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(3,4-dichlorophenyl)thio]7,8-dihydro-1-(1-methylethyl)-, (+)- (CA INDEX NAME)

Rotation (+).

RN 887401-59-4 CAPLUS

CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(3,4-dichlorophenyl)thio]7,8-dihydro-1-(1-methylethyl)-, (-)- (CA INDEX NAME)

Rotation (-).

IT 688356-96-9P 688357-16-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREF (Preparation); USES (Uses)

(drug candidate; preparation of N-acyl anthranilic acid and related compds. as niacin receptor agonists and their methods of treatment of dvslinidemias)

RN 688356-96-9 CAPLUS

CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(3,4-dichlorophenyl)thio]-7,8-dihydro-1-(methylsulfonyl)- (CA INDEX NAME)

RN 688357-16-6 CAPLUS

CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(4-chlorophenyl)thio]-7,8-dihydro-1-(methylsulfonyl)- (CA INDEX NAME)

TT

AR The invention is related to a method of treating atherosclerosis, dyslipidemia and related conditions wherein a nicotinic acid receptor partial/agonist I, or one of its pharmaceutically acceptable salts or solvates, is administered to a human patient in combination with a DP receptor antagonist, e.g. II, in amts. that are effective for treatment in the absence of substantial flushing. The invention is also related to the preparation of tetrazole I and DP antagonists. Thus, I was prepared by reaction of cyclopentanone with diethylmalonate (no data for the intermediate), followed by cyclization with hydrazine hydrochloride, amidation of the ester with methanolic ammonia, dehydration of the amide, and cyclization of the nitrile with NaN3. An 11step synthesis was given for pyridoindolizine II (no data for the intermediates). II, and its derivs., having a binding affinity (Ki) for CRTH2 of about ≥ 0.5 µM, and a selectivity for the DP receptor over CRTH2 of at least about 10 fold, are useful to inhibit the flushing effect seen when tetrazole I or its pharmaceutically acceptable salts or solvates are administered alone.

2006:212213 CAPLUS Full-text AN

DN 144:292761

ΤI Preparation of 3-(2H-tetrazol-5-yl)-1,4,5,6-tetrahydrocyclopentapyrazole as nicotinic agonist and pyridoindolizine derivatives as DP receptor antagonists , and their combination useful for treating atherosclerosis, dyslipidemias and related conditions

TN Waters, M. Gerard; Turner, Mervyn

PA Merck & Co., Inc., USA

SO PCT Int. Appl., 55 pp.

CODEN: PIXXD2

Pat.ent.

English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

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PI	WO 20	060	262	73		A2		20060309			WO 2	005-1	US30	001		2	0050	824			
	WO 20	060	262	73		A3		20060908													
	W	:	AE, AG, AL, AM, AT, AU		AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,						
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			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KP,	KR,	KΖ,			
			LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,			
			NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,			
			SL,	SM,	SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,			
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											US 2	004-	6044	43P	1	P 2	0040	825			
	US 20	US 20070244107 A1				2007	1018		US 2007-631741					20070105							
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- OS CASREACT 144:292761
- IT 688356-96-9P 688357-16-6P 688357-17-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(DP receptor antagonist; preparation of a nicotinic agonist and DP receptor antagonists, and their combination useful for treating atherosclerosis, dyslipidemias and related conditions)

- RN 688356-96-9 CAPLUS
- CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(3,4-dichlorophenyl)thio]-7,8-dihydro-1-(methylsulfonyl)- (CA INDEX NAME)

- RN 688357-16-6 CAPLUS
- CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(4-chlorophenyl)thio]-7,8dihydro-1-(methylsulfonyl)- (CA INDEX NAME)

RN 688357-17-7 CAPLUS

CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(3,4-dichlorophenyl)thio]7,8-dihydro-1-(1-methylethyl)- (CA INDEX NAME)

IT 688357-27-9P 688357-28-0P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of a nicotinic agonist and DP receptor antagonists, and their combination useful for treating atherosclerosis, dyslipidemias and related conditions)

RN 688357-27-9 CAPLUS

CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(3,4-dichlorophenyl)thio]-7,8-dihydro-1-(1-methylethyl)-, methyl ester, (+)- (CA INDEX NAME)

Rotation (+).

RN 688357-28-0 CAPLUS

N 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(3,4-dichlorophenyl)thio]-7,8-dihydro-1-(1-methylethyl)-, methyl ester, (-)- (CA INDEX NAME)

Rotation (-).

- L4 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN
- AB A method of treating atherosclerosis is disclosed wherein nicotinic acid or another nicotinic acid receptor agonist is administered to the patient in combination with a DP receptor antagonist. The DP receptor antagonist is administered to reduce, prevent or eliminate flushing that may otherwise occur.
- AN 2004:999670 CAPLUS Full-text
- DN 141:420447
- TI Method of treating atherosclerosis, dyslipidemias and related conditions
- IN Cheng, Kang; Waters, M. Gerard; Metters, Kathleen M.; O'Neill, Gary
- USA PA
- U.S. Pat. Appl. Publ., 33 pp. SO
- CODEN: USXXCO
- DT Patent
- LA English

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	PATENT NO.								DATE			LICAT					ATE		
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											US :	2003-	4706	65P	1				
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	MX	2005	PA12	272		А		2006	0519		MX :	2005-	PA12	272		2	0051	114	
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NO 2005005957	A	20060214	WO 2004-US14980 NO 2005-5957 US 2003-470665P	W	20040513 20051214 20030515
			WO 2004-US14980	W	20040513
KR 2008003470	A	20080107	KR 2007-729888		20071221
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			WO 2004-US14980	W	20040513
			KR 2005-721795	A3	20051115

IT 688356-96-9P 688357-16-6P 688357-17-7P

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (method of treating atherosclerosis, dyslipidemias and related

(method of treating atherosclerosis, dyslipidemias and related conditions)

RN 688356-96-9 CAPLUS

CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(3,4-dichlorophenyl)thio]-7,8-dihydro-1-(methylsulfonyl)- (CA INDEX NAME)

RN 688357-16-6 CAPLUS

CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(4-chlorophenyl)thio]-7,8dihydro-1-(methylsulfonyl)- (CA INDEX NAME)

RN 688357-17-7 CAPLUS

CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(3,4-dichlorophenyl)thio]-7,8-dihydro-1-(1-methylethyl)- (CA INDEX NAME)

688357-25-7P 794535-39-0P 794535-46-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(method of treating atherosclerosis, dyslipidemias and related conditions)

RN 688357-25-7 CAPLUS

CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(3,4-dichlorophenyl)thio]-7,8-dihydro-1-(1-methylethyl)-, ethyl ester (CA INDEX NAME)

RN 794535-39-0 CAPLUS

CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(3,4-dichlorophenyl)thio]-7,8-dihydro-1-(1-methylethyl)-, (8R)- (CA INDEX NAME)

Absolute stereochemistry.

794535-46-9 CAPLUS RN

CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(3,4-dichlorophenyl)thio]-7,8-dihydro-1-(1-methylethyl)-, (8S)- (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 15 OF 15 CAPLUS COPYRIGHT 2008 ACS on STN

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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

- Title compds. I [wherein G = O(CH2)1-2, S(CH2)1-2, (un) substituted C1-3alkv1; AB Ar = hetero/aryl optionally substituted with Rq; Q = CO2H, CONH2 and derivs., SO2NH2 and derivs., SO3H, PO3H2 and tetrazolvl; one of A, B, C, or D is N and the others are independently selected from CH and CRq; E = (CH2)a-X-(CH2)b, phenylene, cycloalkylidene, cycloalkylene, etc.; a, b = 0-1, X = a bond, O, S, NH and derivs., etc.; F = (CH2)m and derivs., CH:CH and derivs.; m = 1-3; R1 =H, CN, OH and derivs., (un) substituted alkyl, etc.; R2 = H, alkyl optionally substituted with 1-6 halogens; R1R2 = oxo; or R1R2 = (un)substituted 3- or 4membered ring, optionally containing 1 heteroatom; R3 = H, (un)substituted alkyl; Rg = halo, CN, CHO, CO2H and derivs., CONH2 and derivs., NH2 and derivs., NO2, alkoxy, OCONH2 and derivs., SO2-alkyl, (un)substituted alk/en/yl, etc.] were prepared as prostaglandin receptor, in particular PGD2, antagonists useful for the treatment of prostaglandin-mediated diseases such as allergic rhinitis, nasal congestion and asthma (no data). Six biol. assays are given (no data). Thus, reaction of II (preparation given) with a mixture of bis(3,4-dichlorophenyl)disulfide, SO2C12, 1,2-dichloroethane, followed by hydrolysis gave the pyridoindolizinyl acid III.
- AN 2004:390250 CAPLUS Full-text
- DN 140:406734
 - T Preparation of pyridopyrrolizines and pyridoindolizines as prostaglandin receptor, in particular PGD2, antagonists
- IN Leblanc, Yves; Dufresne, Claude; Roy, Patrick
- PA Merck Frosst Canada & Co., Can.
- SO PCT Int. Appl., 68 pp.
- CODEN: PIXXD2
- DT Patent
- LA English
- FAN.CNT 1

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	PAT	CENT I	.OV			KIND DATE			ATE APPLICATION NO.							DATE			
PI	WO	2004	0398	07		A1 20040513					WO 2	003-		20031028					
	W: AE, AG, AL,				AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,		
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,	GE,	
			GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KR,	ΚZ,	LC,	LK,	LR,	
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM,	
								RU,								TJ,	TM,	TN,	
			TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	zw				
	RW: GH, GM, KE					LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,	

	KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG	, CH,	CY,	CZ,	DE,	DK,	EE,	ES,
	FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC	, NL,	PT,	RO,	SE,	SI,	SK,	TR,
	BF,	ΒJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ	, GW,	ML,	MR,	NE,	SN,	TD,	TG
										2002-						
										2003-					20030	626
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								1	US	2002-	4224	43P		P 2	20021	030
								1	US	2003-	4826	26P		P 2	20030	626
								1	OW	2003-	CA16	58		W 2	0031	028
AU 2003	32758	68		A1		2004	0525		AU	2003-	2758	68		- 2	0031	028
								1	US	2002-	4224	43P		P 2	0021	030
								1	US	2003-	4826	26P		P 2	20030	626
								1	OW	2003-	CA16	58		W 2	20031	028
EP 1558	3614			A1		2005	0803		EP	2003-	8096	72		2	20031	028
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	IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL	, TR,	BG,	CZ,	EE,	HU,	SK	
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								1	US	2003-	4826	26P		P 2	20030	626
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OS MARPAT 140:406734

IT 688357-25-7

RL: RCT (Reactant); RACT (Reactant or reagent)

⁽preparation of pyridopyrrolizines and pyridoindolizines as prostaglandin D2 receptor antagonists)

RN 688357-25-7 CAPLUS

CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(3,4-dichlorophenyl)thio]-7,8-dihydro-1-(1-methylethyl)-, ethyl ester (CA INDEX NAME)

IT 688357-17-7P 688357-27-9P 688357-28-0P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prostaglandin D2 receptor antagonist; preparation of pyridopyrrolizines and pyridoindolizines as prostaglandin D2 receptor antagonists)

RN 688357-17-7 CAPLUS

CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(3,4-dichlorophenyl)thio]7,8-dihydro-1-(1-methylethyl)- (CA INDEX NAME)

RN 688357-27-9 CAPLUS

CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(3,4-dichlorophenyl)thio]7,8-dihydro-1-(1-methylethyl)-, methyl ester, (+)- (CA INDEX NAME)

Rotation (+).

RN 688357-28-0 CAPLUS

CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(3,4-dichlorophenyl)thio]-7,8-dihydro-1-(1-methylethyl)-, methyl ester, (-)- (CA INDEX NAME)

Rotation (-).

- IT 688356-96-9F 688357-16-6F 688357-46-2F 688357-48-4F 688357-49-5F 688357-50-8F 688357-51-9F 688357-69-9F
 - RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prostaglandin D2 receptor antagonist; preparation of pyridopyrrolizines and pyridoindolizines as prostaglandin D2 receptor antagonists)

- RN 688356-96-9 CAPLUS
- CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(3,4-dichlorophenyl)thio]-7,8-dihydro-1-(methylsulfonyl)- (CA INDEX NAME)

- RN 688357-16-6 CAPLUS
- CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(4-chlorophenyl)thio]-7,8-dihydro-1-(methylsulfonyl)- (CA INDEX NAME)

- RN 688357-46-2 CAPLUS
- CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(4-fluorophenyl)thio]-7,8-dihydro-1-(1-methylethyl)- (CA INDEX NAME)

RN 688357-48-4 CAPLUS

CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(4-chlorophenyl)thio]-7,8-dihydro-1-(1-methylethyl)- (CA INDEX NAME)

RN 688357-49-5 CAPLUS

CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(2,4-dichlorophenyl)thio]7,8-dihydro-1-(1-methylethyl)- (CA INDEX NAME)

RN 688357-50-8 CAPLUS

6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(4-bromophenyl)thio]-7,8-dihydro-1-(1-methylethyl)- (CA INDEX NAME)

RN 688357-51-9 CAPLUS

CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(2-chloro-4-fluorophenyl)thio]-7,8-dihydro-1-(1-methylethyl)- (CA INDEX NAME)

RN 688357-69-9 CAPLUS

CN 6H-Pyrido[3,4-b]pyrrolizine-8-acetic acid, 9-[(4-chlorophenyl)thio]-7,8dihydro-1-(1-methoxypropyl)- (CA INDEX NAME)